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Inhibitors, Screening Libraries, Proteins

PAI-1

Plasminogen activator inhibitor-1

PAI-1 (Plasminogen activator inhibitor-1, also known as SERPINE1) is a member of serine protease inhibitor (SERPIN) family that acts as the primary inhibitor of two main mammalian plasminogen activators, urinary-type (uPA) and tissue-type (tPA). As the main negative regulator of plasminogen activation, PAI-1 is an essential factor in regulation of the physiological balance between thrombosis and fibrinolysis. PAI-1 is a labile molecule that exists in four different forms: active, latent, cleaved and target bound form.

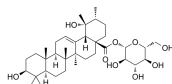
High PAI-1 levels are associated with many cardiovascular diseases. PAI-1 also plays important roles in cell migration, adhesion, senescence, cancer invasion and tissue remodeling. Moreover, the PAI-1 level was extensively validated as the biological prognostic factor in breast cancer and as a marker of a poor prognosis in other cancers. PAI-1 is also one of the plasma biomarkers associated with nonalcoholic fatty liver disease. These associations have made PAI-1 an attractive pharmaceutical target.

PAI-1 Inhibitors

28-O-β-D-Glucopyranosyl pomolic acid

Cat. No.: HY-N1533

28-O-β-D-Glucopyranosyl pomolic acid is a **urokinase plasminogen activator** inhibitor with IC_{50} at 37.82 μ M.

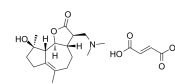


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

ACT001

Cat. No.: HY-128861A

ACT001 is an orally active **PAI-1** inhibitor by inhibiting the phosphorylation of **PI3K** and **AKT**. ACT001 inhibits the phosphorylation of **STAT3** and **PD-L1** expression by directly binding to **STAT3**.



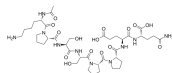
Purity: 99.62%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

Angstrom6

(A6 Peptide)

Cat. No.: HY-P2230

Angstrom6 (A6 Peptide) is an 8 amino-acid peptide derived from single-chain urokinase plasminogen activator (scuPA) and interferes with the **uPA/uPAR** cascade and abrogates downstream effects.

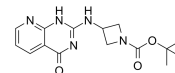


Purity: 98.77%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

AZ3976

Cat. No.: HY-117724

AZ3976 is a potent **plasminogen activator inhibitor type 1 (PAI-1)** inhibitor with an IC_{50} value of 26 μ M in an enzymatic chromogenic assay. AZ3976 is active with an IC_{50} of 16 μ M in a plasma clot lysis assay.

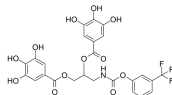


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

CDE-096

Cat. No.: HY-120516

CDE-096 is a potent inhibitor of **PAI-1**.



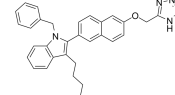
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Diaplasinin

(PAI-749)

Cat. No.: HY-122098

Diaplasinin (PAI-749) is a plasminogen activator inhibitor-1 (**PAI-1**) inhibitor with IC_{50} of 295 nm. Antithrombotic efficacy.

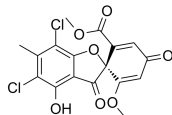


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Geodin

Cat. No.: HY-N10227

Geodin, a fungal metabolite, shows antibacterial activity. Geodin also is an inhibitor of plasminogen activator inhibitor-1 (**PAI-1**).

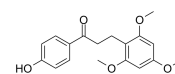


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Loureirin B

Cat. No.: HY-N1504

Loureirin B, a flavonoid extracted from *Dracaena cochinchinensis*, is an inhibitor of plasminogen activator inhibitor-1 (**PAI-1**), with an IC_{50} of 26.10 μ M; Loureirin B also inhibits K_{ATP} , the phosphorylation of **ERK** and **JNK**, and has anti-diabetic activity.



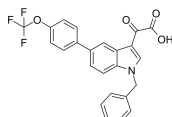
Purity: 99.16%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg

Tiplaxtinin

(PAI-039; Tiplasinin)

Cat. No.: HY-15253

Tiplaxtinin is a selective and orally efficacious inhibitor of plasminogen activator inhibitor-1 (**PAI-1**) with IC_{50} of 2.7 μ M.

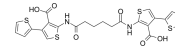


Purity: 98.42%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

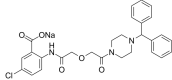
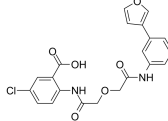
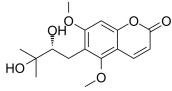
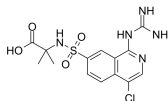
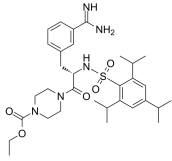
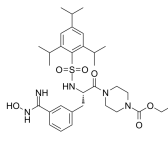
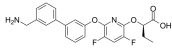
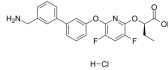
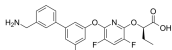
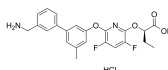
TM5007

Cat. No.: HY-119160

TM5007 is a potent and orally active inhibitor of plasminogen activator inhibitor-1 (**PAI-1**) with an IC_{50} of 29 μ M. TM5007 enhance fibrinolysis activity and inhibits coagulation. TM5007 also prevents the fibrotic process initiated by bleomycin in mouse lung.



Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

<p>TM5275 sodium</p> <p style="text-align: right;">Cat. No.: HY-100447</p>	<p>TM5441</p> <p style="text-align: right;">Cat. No.: HY-101761</p>
<p>TM5275 sodium is a plasminogen activator inhibitor (PAI-1) with an IC_{50} of 6.95 μM.</p>  <p>Purity: 99.08% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>TM5441 is an orally bioavailable inhibitor of plasminogen activator inhibitor-1 (PAI-1), has IC_{50} values between 13.9 and 51.1 μM and induces intrinsic apoptosis in several human cancer cell lines.</p>  <p>Purity: 98.18% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>Toddalolactone</p> <p style="text-align: right;">Cat. No.: HY-N0518</p>	<p>UK-371804</p> <p style="text-align: right;">Cat. No.: HY-101214</p>
<p>Toddalolactone, a main component of Toddalia asiatica, inhibits the activity of recombinant human plasminogen activator inhibitor-1 (PAI-1), with an IC_{50} value of 37.31 μM.</p>  <p>Purity: \geq99.0% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 20 mg</p>	<p>UK-371804 is a urokinase-type plasminogen activator (uPA) inhibitor with a K_i of 10 nM.</p>  <p>Purity: \geq98.0% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>UKI-1 (UKI-1C)</p> <p style="text-align: right;">Cat. No.: HY-100415</p>	<p>Upamostat (WX-671)</p> <p style="text-align: right;">Cat. No.: HY-16511</p>
<p>UKI-1 (UKI-1C) is a potent urokinase-type plasminogen activator (uPA) inhibitor with a K_i of 0.41 μM. UKI-1 is also a low molecular weight serine protease inhibitor. UKI-1 is a potent antimetastatic agent and inhibits the invasive capacity of carcinoma cells.</p>  <p>Purity: 97.57% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Upamostat (WX-671) is a serine protease inhibitor. Upamostat is the orally available prodrug of the WX-UK1, which is a urokinase plasminogen activator (uPA) inhibitor.</p>  <p>Purity: \geq98.0% Clinical Data: Phase 2 Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>
<p>ZK824190</p> <p style="text-align: right;">Cat. No.: HY-126361</p>	<p>ZK824190 hydrochloride</p> <p style="text-align: right;">Cat. No.: HY-126361A</p>
<p>ZK824190 is an orally available and selective urokinase plasminogen activator (uPA) inhibitor as a potential treatment for multiple sclerosis. IC_{50}s of 237, 1600 and 1850 nM for uPA, tPA, and Plasmin, respectively.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>ZK824190 hydrochloride is an orally available and selective urokinase plasminogen activator (uPA) inhibitor as a potential treatment for multiple sclerosis. IC_{50}s of 237, 1600 and 1850 nM for uPA, tPA, and Plasmin, respectively.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>ZK824859</p> <p style="text-align: right;">Cat. No.: HY-114330</p>	<p>ZK824859 hydrochloride</p> <p style="text-align: right;">Cat. No.: HY-114330A</p>
<p>ZK824859 is an oral available and selective urokinase plasminogen activator (uPA) inhibitor with IC_{50}s of 79 nM, 1580 nM and 1330 nM for human uPA, tPA, and plasmin, respectively.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>ZK824859 hydrochloride is an oral available and selective urokinase plasminogen activator (uPA) inhibitor with IC_{50}s of 79 nM, 1580 nM and 1330 nM for human uPA, tPA, and plasmin, respectively.</p>  <p>Purity: 98.77% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>